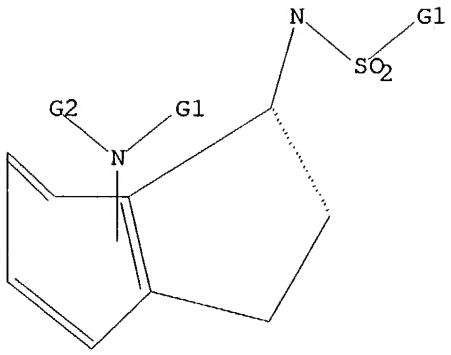


G1 Cb,Hy,Ak

G2 H,Cb,Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED   52065 ITERATIONS                          50 ANSWERS
SEARCH TIME: 00.00.01

L2            50 SEA SSS FUL L1

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COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               156.26     156.47

FILE 'CAPLUS' ENTERED AT 12:47:54 ON 17 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 17 Sep 2004  VOL 141 ISS 13
FILE LAST UPDATED: 16 Sep 2004  (20040916/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

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L3 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:594821 CAPLUS
DOCUMENT NUMBER: 137:154856
TITLE: Preparation of N-indanyl sulfonamides as potassium channel inhibitors
INVENTOR(S): Beaudoin, Serge; Reed, Aimee D.; Gross, Michael
PATENT ASSIGNEE(S): Icagen Incorporated, USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

present case

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002060874 | A1 | 20020808 | WO 2001-US48601 | 20011219 |
| WO 2002060874 | C1 | 20030220 | | |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002161011 | A1 | 20021031 | US 2001-4867 | 20011207 |
| EP 1345905 | A1 | 20030924 | EP 2001-998049 | 20011219 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| US 2000-256926P | P | 20001221 |
| US 2001-4867 | A | 20011207 |
| WO 2001-US48601 | W | 20011219 |

OTHER SOURCE(S): MARPAT 137:154856
GI

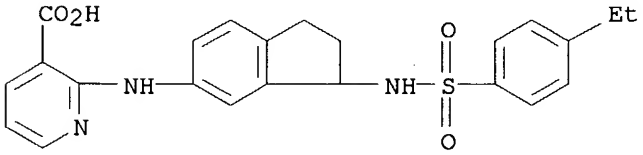
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A, B, D = C, N, N(O) (wherein at least one of A, B, and D is a substituted C atom and at most only one of A, B, and D is N(O)); E = H, alkyl; G = H, alkyl; or E and G taken together form a bond (site of unsatn.); R1 = H, alkyl, aryl, etc.; R2 = alkyl, aryl, heterocyclyl; R3 = H, alkyl, aryl, etc.; R4 = alkyl, aryl, heteroaryl, etc.; R5, R6 = H, F, alkyl; or R5 and R6 taken together, along with the carbom atom to which they are both attached, form a 3-7 membered carbocyclic or heterocyclic ring; R7 = H, alkyl, OH, etc.; n = 1-3], useful as potassium channel inhibitors and especially useful for the treatment of cardiac arrhythmias and cell proliferative disorders, were prepared Thus, amidation of the amine II (preparation given) with hydrocinnamoyl chloride in the presence of Et3N in THF afforded 21% III which showed 46% inhibition of Kv1.5 at 0.1 μM.

IT **445402-76-6P 445402-81-3P 445402-82-4P**

**445402-85-7P 445402-86-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-indanyl sulfonamides as potassium channel inhibitors)

RN 445402-76-6 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-[[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]amino]- (9CI) (CA INDEX NAME)



RN 445402-81-3 CAPLUS
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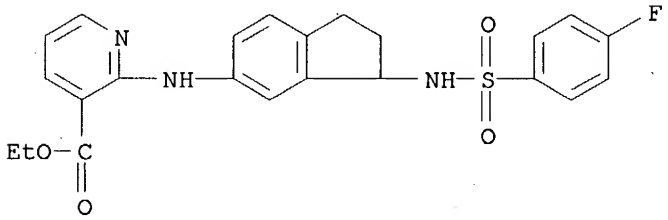

RN 445402-82-4 CAPLUS
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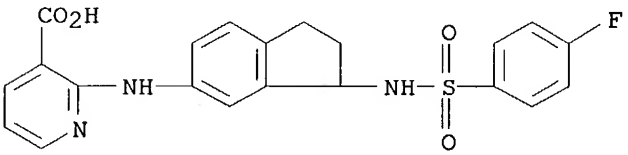
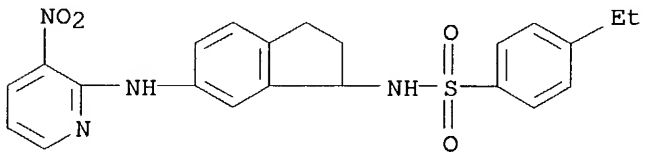
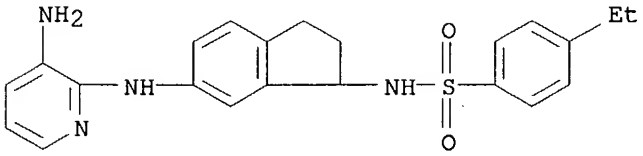


RN 445402-85-7 CAPLUS
CN Benzenesulfonamide, N-[2,3-dihydro-6-[(3-nitro-2-pyridinyl)amino]-1H-inden-1-yl]-4-ethyl- (9CI) (CA INDEX NAME)



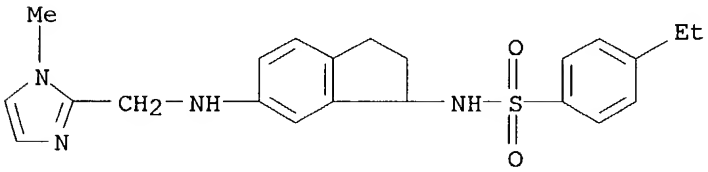
RN 445402-86-8 CAPLUS
CN Benzenesulfonamide, N-[6-[(3-amino-2-pyridinyl)amino]-2,3-dihydro-1H-inden-

1-yl]-4-ethyl- (9CI) (CA INDEX NAME)



IT **346618-15-3P 346618-17-5P 346618-18-6P 346618-19-7P 346618-20-0P 445402-77-7P 445402-78-8P 445402-79-9P 445402-80-2P 445402-83-5P 445402-84-6P 445402-87-9P 445402-88-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-indanyl sulfonamides as potassium channel inhibitors)

RN 346618-15-3 CAPLUS
CN Benzenesulfonamide, N-[2,3-dihydro-6-[[(1-methyl-1H-imidazol-2-yl)methyl]amino]-1H-inden-1-yl]-4-ethyl- (9CI) (CA INDEX NAME)



RN 346618-17-5 CAPLUS
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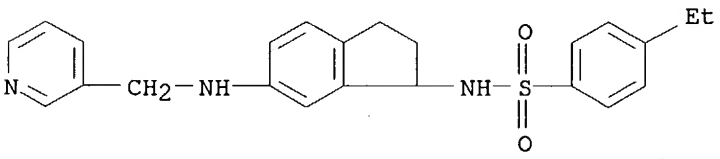

RN 346618-18-6 CAPLUS
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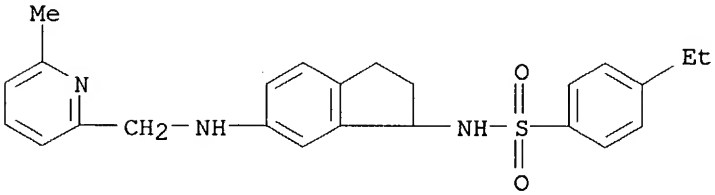

RN 346618-19-7 CAPLUS
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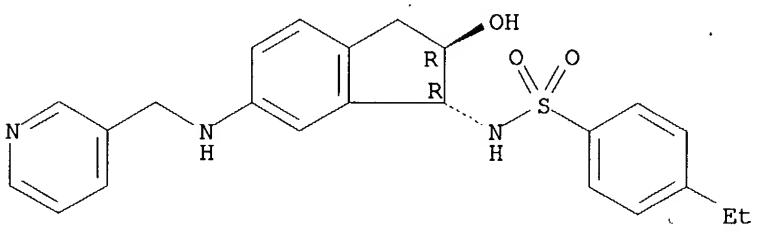
Relative stereochemistry.



RN 346618-20-0 CAPLUS
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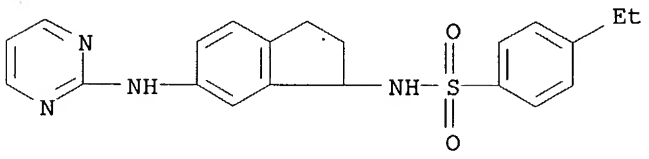
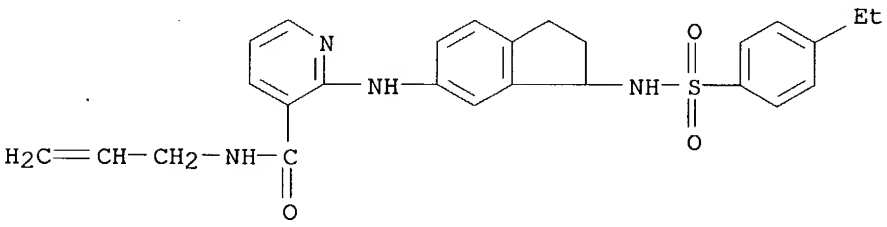


RN 445402-77-7 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]amino]-N-2-propenyl- (9CI) (CA INDEX NAME)



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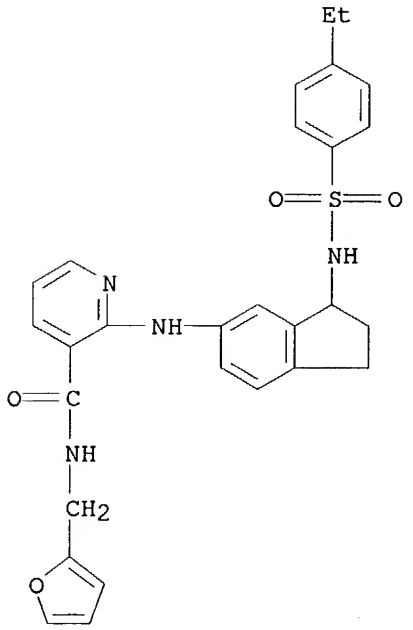
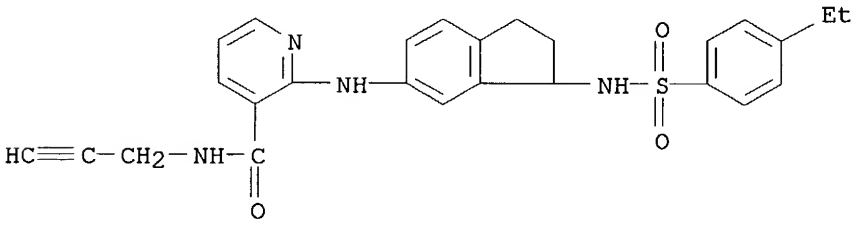


RN 445402-79-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]amino]-N-2-propynyl- (9CI) (CA INDEX NAME)



RN 445402-80-2 CAPLUS
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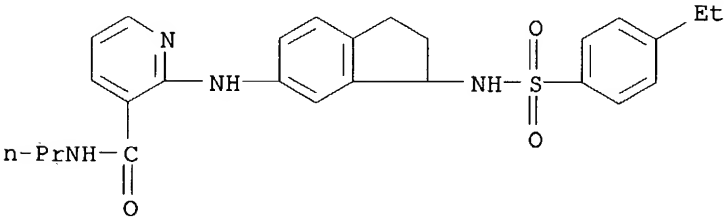

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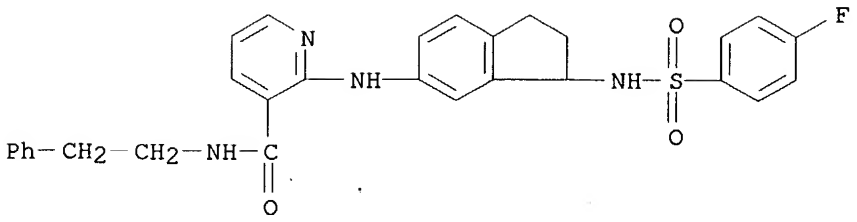
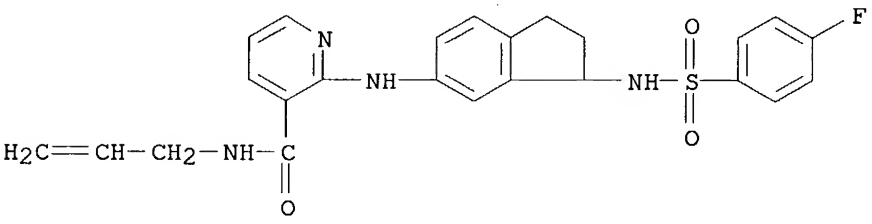
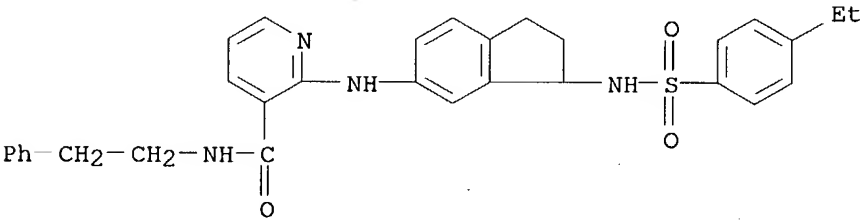
CN 3-Pyridinecarboxamide, 2-[[3-[[(4-fluorophenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]amino]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 445402-84-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[3-[[(4-fluorophenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]amino]-N-2-propenyl- (9CI) (CA INDEX NAME)



RN 445402-87-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]amino]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 445402-88-0 CAPLUS
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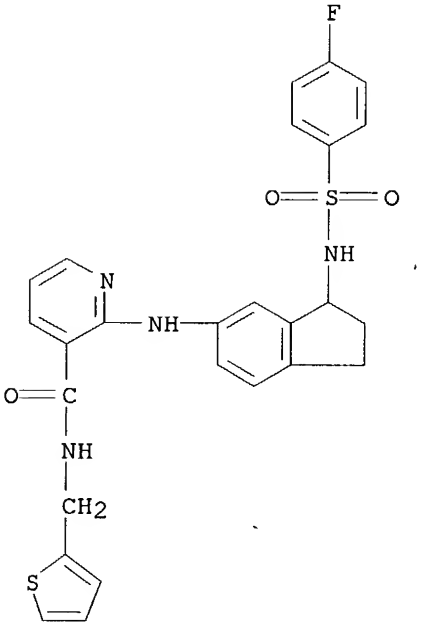

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:472680 CAPLUS
DOCUMENT NUMBER: 135:76902
TITLE: Preparation of N-heterocyclylmethyl indanediamines as potassium channel inhibitors
INVENTOR(S): Gross, Michael; Beaudoin, Serge; Reed, Aimee D.
PATENT ASSIGNEE(S): Icagen, Inc., USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2001046155 | A1 | 20010628 | WO 2000-US34765 | 20001221 |
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| US 2002006929 | A1 | 20020117 | US 2000-741085 | 20001221 |
| US 6458794 | B2 | 20011001 | | |
| EP 1240147 | A1 | 20020918 | EP 2000-988231 | 20001221 |
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| JP 2003518101 | T2 | 20030603 | JP 2001-547066 | 20001221 |
| US 2003013706 | A1 | 20030116 | US 2002-210064 | 20020802 |

PRIORITY APPLN. INFO.:

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| US 1999-171397P | P | 19991221 |
| US 2000-231296P | P | 20000908 |
| US 2000-741085 | A3 | 20001221 |
| WO 2000-US34765 | W | 20001221 |

OTHER SOURCE(S): MARPAT 135:76902

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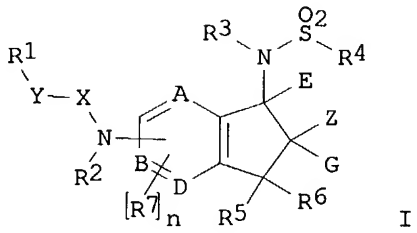


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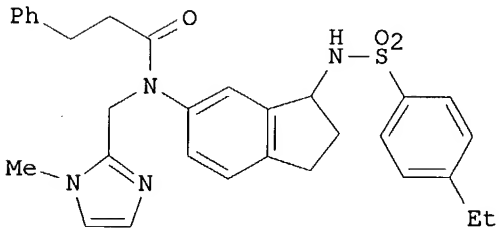


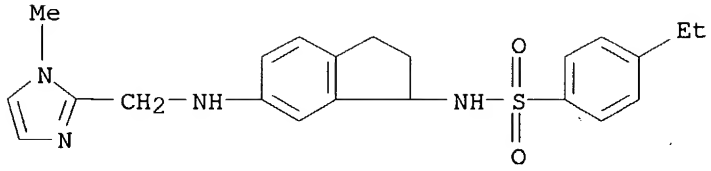

II

AB The title compds. [I; A, B, D = C, N, N(:O) (wherein at least one of A, B, and D is a substituted C and at most only one of A, B and D = N(:O)); E, G = H; E and G taken together form a bond; R1 = H, alkyl, aryl, etc.; Y = a bond, alkyl, alkenyl, etc.; X = CO, CS, SO2; R2, R3 = H, alkyl, aryl, etc.; R4 = alkyl, aryl, heteroalkyl, etc.; R5, R6 = H, alkyl; R7 = H, alkyl, OH, etc.; Z = H, alkyl, OH, etc.; n = 1-3], useful as potassium channel inhibitors and especially useful for the treatment of cardiac arrhythmias and cell proliferative disorders, were prepared E.g., a 2-step synthesis of II which showed 53% inhibition of Kv1.5 at 0.1 μM, was given.

IT **346618-15-3P 346618-17-5P 346618-20-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-heterocyclylmethyl indanediamines as potassium channel inhibitors)

RN 346618-15-3 CAPLUS

CN Benzenesulfonamide, N-[2,3-dihydro-6-[[(1-methyl-1H-imidazol-2-yl)methyl]amino]-1H-inden-1-yl]-4-ethyl- (9CI) (CA INDEX NAME)



RN 346618-17-5 CAPLUS
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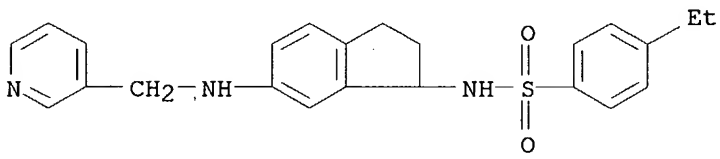

RN 346618-20-0 CAPLUS
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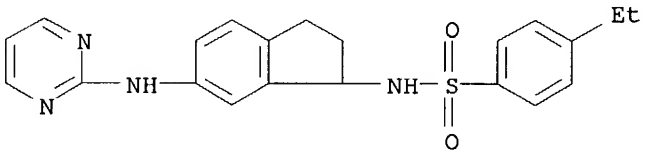

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**346618-12-0P 346618-13-1P 346618-18-6P**
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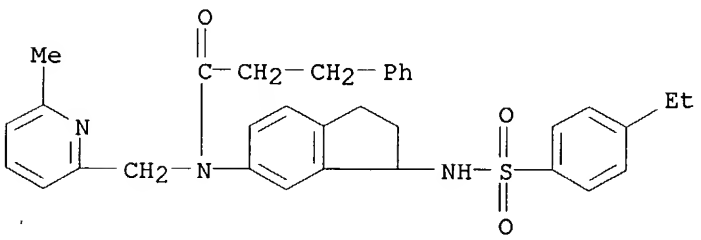
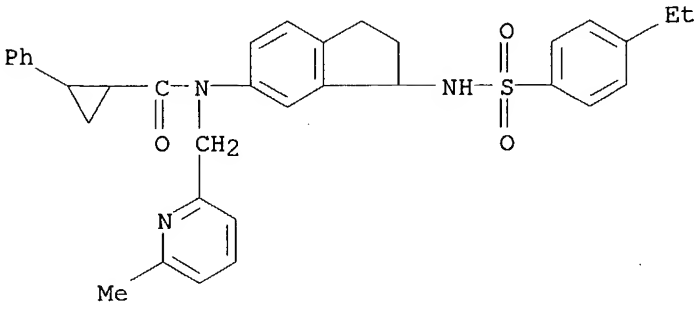
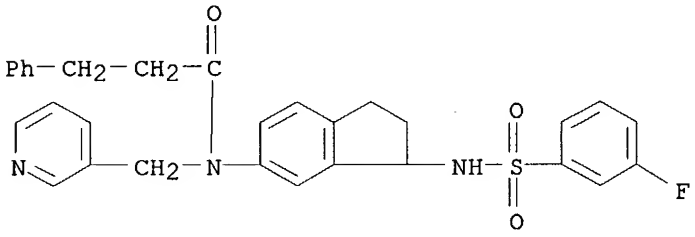
**346618-23-3P 346618-24-4P 346618-25-5P**
**346618-26-6P 346618-27-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-heterocyclylmethyl indanediamines as potassium channel inhibitors)
RN 346618-05-1 CAPLUS
CN Benzenepropanamide, N-[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(6-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



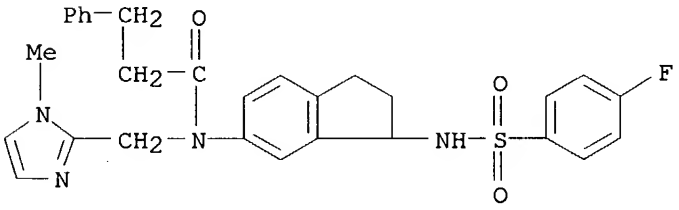
RN 346618-06-2 CAPLUS
CN Cyclopropanecarboxamide, N-[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(6-methyl-2-pyridinyl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)



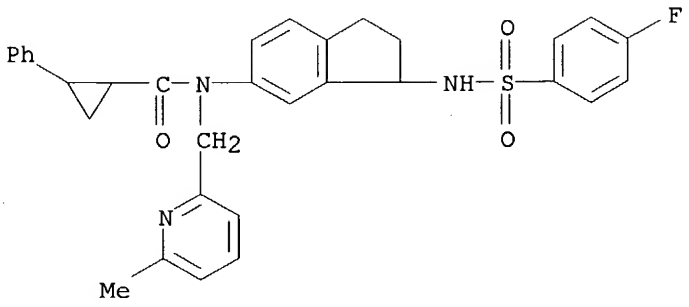
RN 346618-07-3 CAPLUS
CN Benzenepropanamide, N-[3-[[(3-fluorophenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



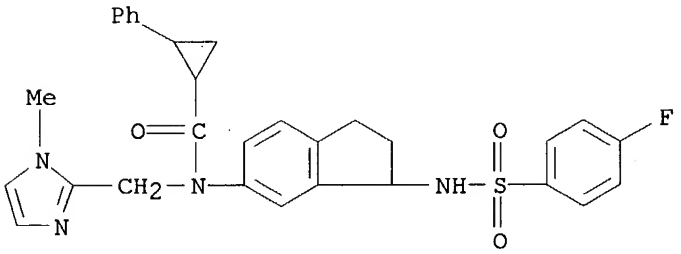
RN 346618-08-4 CAPLUS
CN Benzenepropanamide, N-[3-[[(4-fluorophenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



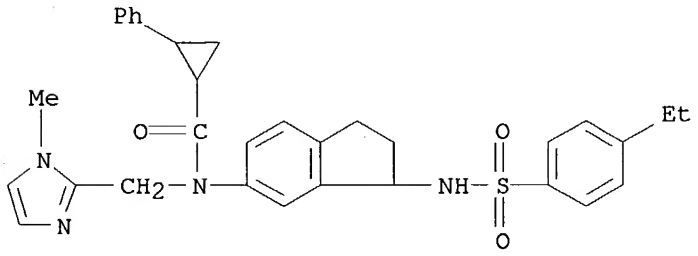
RN 346618-09-5 CAPLUS
CN Cyclopropanecarboxamide, N-[3-[[(4-fluorophenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(6-methyl-2-pyridinyl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 346618-10-8 CAPLUS
CN Cyclopropanecarboxamide, N-[3-[[(4-fluorophenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)

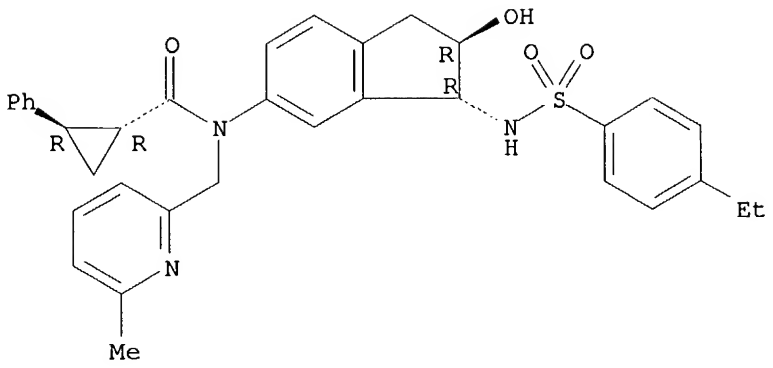


RN 346618-12-0 CAPLUS
CN Cyclopropanecarboxamide, N-[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)

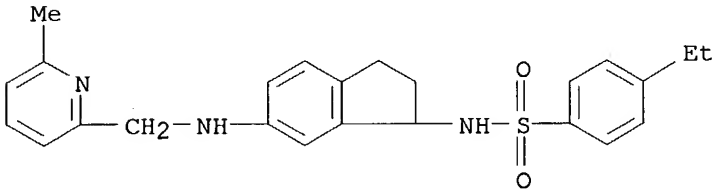


RN 346618-13-1 CAPLUS
CN Cyclopropanecarboxamide, N-[(2R,3R)-3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-N-[(6-methyl-2-pyridinyl)methyl]-2-phenyl-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

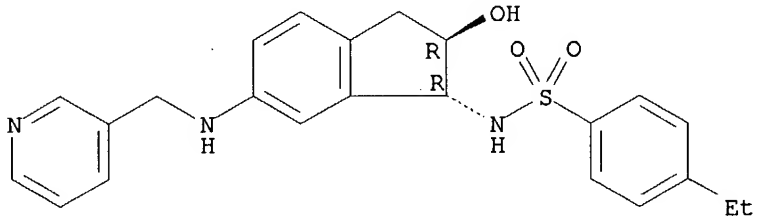


RN 346618-18-6 CAPLUS
CN Benzenesulfonamide, N-[2,3-dihydro-6-[[(6-methyl-2-pyridinyl)methyl]amino]-1H-inden-1-yl]-4-ethyl- (9CI) (CA INDEX NAME)

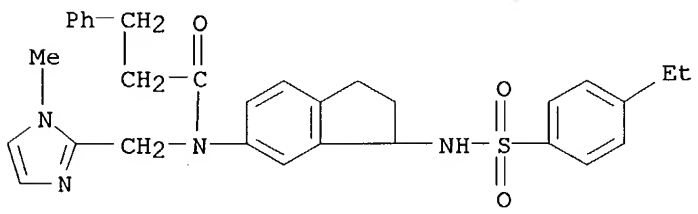


RN 346618-19-7 CAPLUS
CN Benzenesulfonamide, N-[(1R,2R)-2,3-dihydro-2-hydroxy-6-[(3-pyridinylmethyl)amino]-1H-inden-1-yl]-4-ethyl-, rel- (9CI) (CA INDEX NAME)

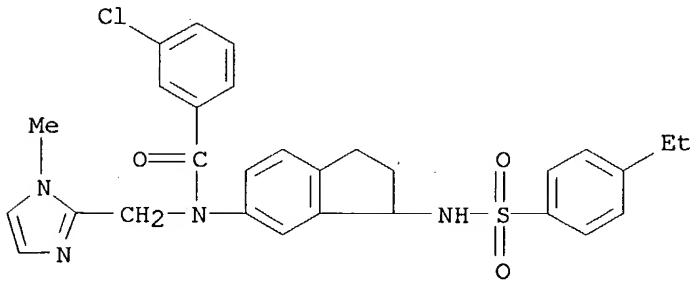
Relative stereochemistry.



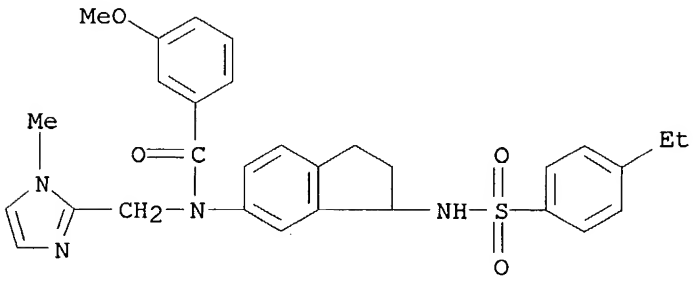
RN 346618-21-1 CAPLUS
CN Benzenepropanamide, N-[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



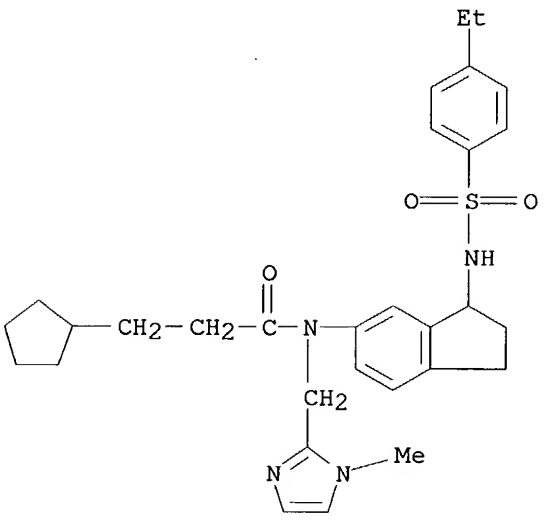
RN 346618-22-2 CAPLUS
CN Benzamide, 3-chloro-N-[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



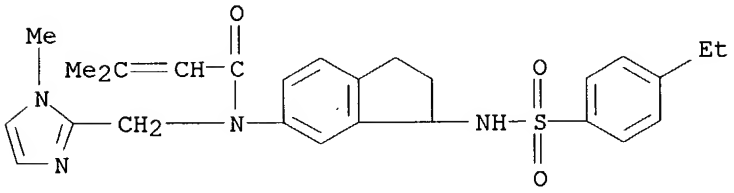
RN 346618-23-3 CAPLUS
CN Benzamide, N-[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-3-methoxy-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



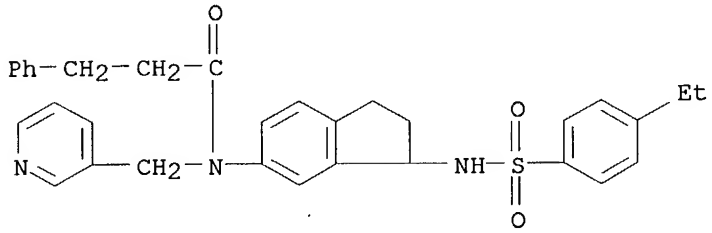
RN 346618-24-4 CAPLUS
CN Cyclopentanepropanamide, N-[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



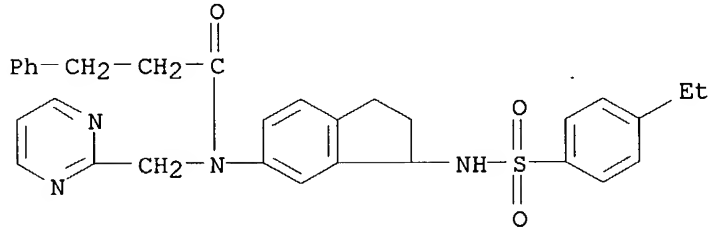
RN 346618-25-5 CAPLUS
CN 2-Butenamide, N-[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-3-methyl-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 346618-26-6 CAPLUS
CN Benzenepropanamide, N-[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



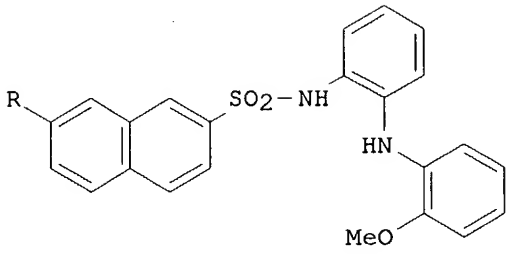
RN 346618-27-7 CAPLUS
CN Benzenepropanamide, N-[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:859492 CAPLUS
DOCUMENT NUMBER: 134:157193
TITLE: Virtual screening for bioactive molecules by evolutionary De novo design
AUTHOR(S): Schneider, Gisbert; Clement-Chomienne, Odile; Hilfiger, Laurence; Schneider, Petra; Kirsch, Stefan; Bohm, Hans-Joachim; Neidhart, Werner
CORPORATE SOURCE: Pharmaceuticals Division, Hoffmann-La Roche Ltd., Basel, 4070, Switz.
SOURCE: Angewandte Chemie, International Edition (2000), 39(22), 4130-4133
CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
GI




I

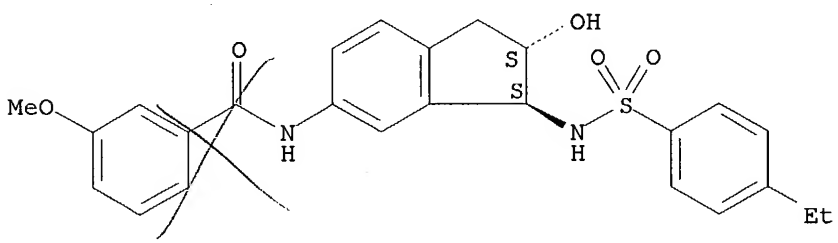
AB We present an efficient computational mol. design strategy that implements pharmacophore-guided evolutionary searching in chemical space. Exptl. proof of the concepts is demonstrated by the successful de novo design of a new structural class of potent K+-channel inhibitors. The algorithm TOPAS provides a solution to template-based de novo design, in which novel mols. are assembled taking a given bioactive compound as the reference point (template structure). We selected a known potent K+ channel blocking agent as the template mol. Two mols. (I, R = H, OMe) were synthesized based on the original design recommended by TOPAS. Electrophysiol. measurement proved K+-channel blocking activity for both.

IT **202749-19-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(template; TOPAS algorithm for virtual screening for bioactive mols.: potassium channel blockers)

RN 202749-19-7 CAPLUS

CN Benzamide, N-[(2S,3S)-3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:161121 CAPLUS
DOCUMENT NUMBER: 132:207763
TITLE: Preparation of benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and indan derivatives as

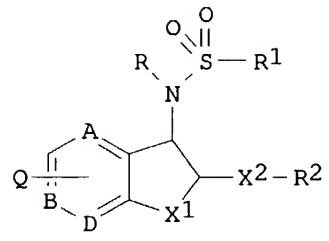
potassium channel inhibitors

INVENTOR(S): Lloyd, John; Finlay, Heather J.; Vaccaro, Wayne; Atwal, Karnail; Gross, Michael F.; Spear, Kerry L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

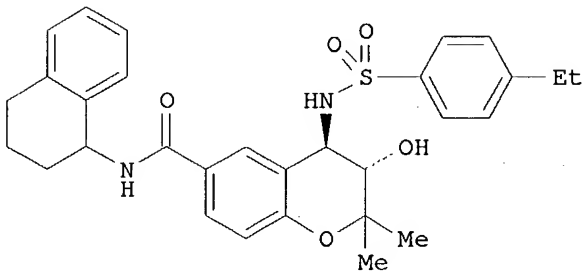
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000012077 | A1 | 20000309 | WO 1999-US18599 | 19990816 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2341678 | AA | 20000309 | CA 1999-2341678 | 19990816 |
| AU 9956753 | A1 | 20000321 | AU 1999-56753 | 19990816 |
| AU 754204 | B2 | 20021107 | | |
| EP 1109544 | A1 | 20010627 | EP 1999-943714 | 19990816 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002523451 | T2 | 20020730 | JP 2000-567195 | 19990816 |
| US 6150356 | A | 20001121 | US 1999-375955 | 19990817 |
| US 6511977 | B1 | 20030128 | US 2000-670285 | 20000925 |
| US 2004058931 | A1 | 20040325 | US 2002-295574 | 20021115 |
| US 2004067944 | A1 | 20040408 | US 2002-295404 | 20021115 |
| US 6784189 | B2 | 20040831 | | |

| | | | |
|---|---|---|---|
| PRIORITY APPLN. INFO.: | US 1998-98709P | P | 19980901 |
| | WO 1999-US18599 | W | 19990816 |
| | US 1999-375955 | A3 | 19990817 |
| | US 2000-670285 | A3 | 20000925 |

OTHER SOURCE(S): MARPAT 132:207763
GI



I



II

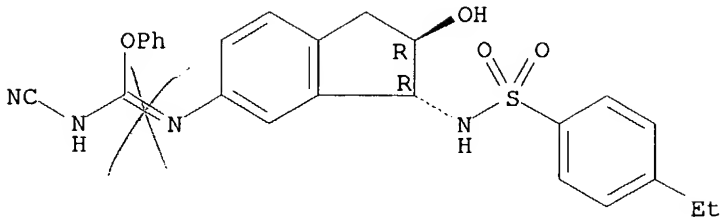
AB The title compds. (I) [wherein A, B, and D = independently CH or N; R = H, (aryl)alkyl, alkenyl, aryl, (hetero)cycloalkyl, or cycloalkylalkyl; R1 = (aryl)alkyl, aryl, alkenyl, heterocyclo, NR5-heterocyclo, (hetero)cycloalkyl, cycloalkylalkyl, or (un)substituted amino; or R and R1 taken together with the N-S atoms = a 5- to 8-membered ring; R2 = H, (aryl)alkyl, acyl, carboxymethyl, carbamoylmethyl, etc.; R3 and R4 = independently = H, (aryl)alkyl, cycloalkyl, or R3 and R4 taken together with the C to which they are attached form a 5- to 8-membered ring; R5 = H, (aryl)alkyl, alkenyl, aryl, or cycloalkyl(alkyl); X1 = (CR3R4)n, O, NR5, S, S(O), SO2, -OCR3R4-, -NR5CR3R4-, -SCR3R4-, -S(O)CR3R4-, or -SO2CR3R4-; n = 1-3; X2 = single bond, NR5, or O; Q = substituted NHCH:NCN, acyl, (un)substituted sulfamoyl, or substituted heterocyclo] were prepd by solution phase or solid phase synthesis as antiarrhythmics. For example, II was formed in a 3-step sequence involving: (1) sulfonylation of (trans)-4-amino-3,4-dihydro-2,2-dimethyl-6-cyano-2H-benzopyran with 4-ethylbenzenesulfonyl chloride (85%), (2) hydrolysis of the nitrile to the carboxylic acid using aqueous Na2O2 (33%), and (3) amidation with 1,2,3,4-tetrahydro-1-naphthylamine (51%). I block the delayed rectifier voltage-gated K+ channel (IKur) and are therefore useful in the prevention and treatment of cardiac arrhythmia (no data).

IT **260402-16-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of arylsulfamido benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and indan derivs. by solution phase or solid phase synthesis as potassium channel inhibitors for the treatment of arrhythmia)

RN 260402-16-2 CAPLUS

CN Carbamimidic acid, N-cyano-N'-[(2R,3R)-3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-, phenyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

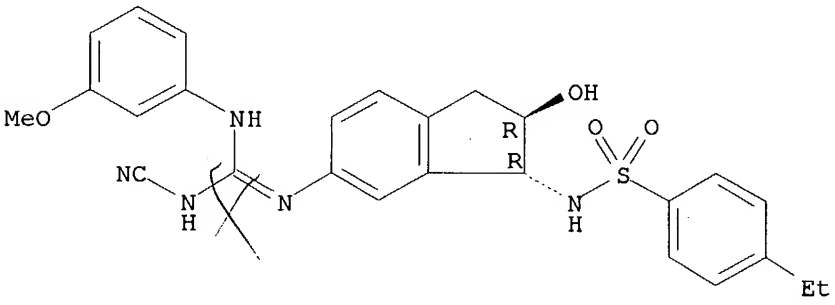


IT **260399-04-0P 260399-05-1P 260399-06-2P**
**260399-07-3P 260399-08-4P 260399-09-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of arylsulfamido benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and indan derivs. by solution phase or solid phase synthesis as potassium channel inhibitors for the treatment of arrhythmia)

RN 260399-04-0 CAPLUS

CN Benzenesulfonamide, N-[(1R,2R)-6-[[(cyanoamino)[(3-methoxyphenyl)amino]methylene]amino]-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-4-ethyl-, rel- (9CI) (CA INDEX NAME)

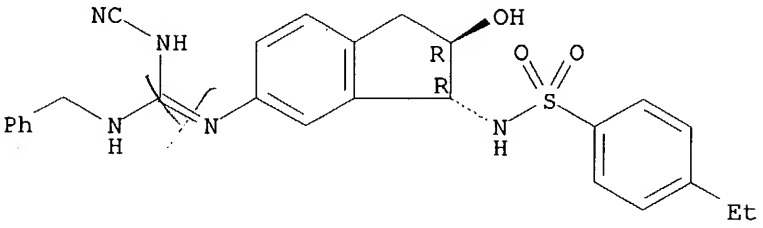
Relative stereochemistry.



RN 260399-05-1 CAPLUS

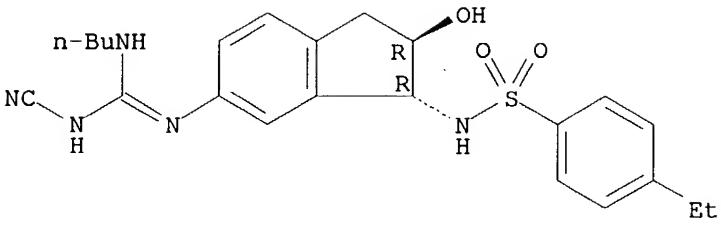
CN Benzenesulfonamide, N-[(1R,2R)-6-[[(cyanoamino)[(phenylmethyl)amino]methylene]amino]-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-4-ethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 260399-06-2 CAPLUS

CN Benzenesulfonamide, N-[(1R,2R)-6-[[(cyanoamino)[(2-phenylethyl)amino]methylene]amino]-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-4-ethyl-, rel- (9CI) (CA INDEX NAME)

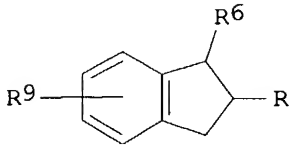



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

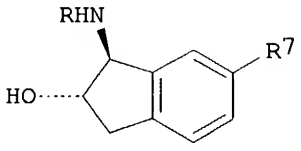
L3 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:105937 CAPLUS
DOCUMENT NUMBER: 128:153932
TITLE: Preparation of N-indanylbenzenesulfonamides and analogs as potassium channel blockers
INVENTOR(S): Castle, Neil Alexander; Hollinshead, Sean Patrick; Hughes, Philip Floyd; Mendoza, Jose Serafin; Wilson, Joseph Wendell; Amato, George; Beaudoin, Serge; et al.
PATENT ASSIGNEE(S): Icagen, Inc., USA; Eli Lilly and Company
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 9804521 | A1 | 19980205 | WO 1997-US12559 | | 19970723 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | | |
| US 6083986 | A | 20000704 | US 1997-893160 | | 19970715 |
| CA 2261814 | AA | 19980205 | CA 1997-2261814 | | 19970723 |
| AU 9738035 | A1 | 19980220 | AU 1997-38035 | | 19970723 |
| AU 734711 | B2 | 20010621 | | | |
| EP 923543 | A1 | 19990623 | EP 1997-934996 | | 19970723 |
| EP 923543 | B1 | 20030924 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | | |
| BR 9710587 | A | 20001031 | BR 1997-10587 | | 19970723 |
| JP 2002513385 | T2 | 20020508 | JP 1998-508884 | | 19970723 |
| AT 250571 | E | 20031015 | AT 1997-934996 | | 19970723 |
| ZA 9706640 | A | 19980302 | ZA 1997-6640 | | 19970725 |
| KR 2000029605 | A | 20000525 | KR 1999-7000669 | | 19990126 |
| PRIORITY APPLN. INFO.: | | | US 1996-22547P | P | 19960726 |
| | | | US 1997-893160 | A | 19970715 |
| | | | US 1996-22547 | A | 19960726 |
| | | | WO 1997-US12559 | W | 19970723 |

OTHER SOURCE(S): MARPAT 128:153932
GI




I




II

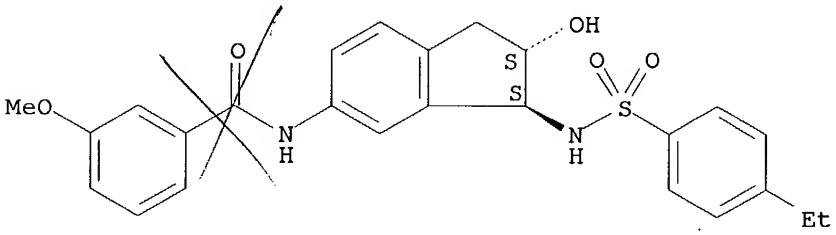
AB Title compds. [I; R = H, OR5, (di)(alkyl)amino, alkoxycarbonylamino, etc.; R5 = H, (CH2)mR8, CO(CH2)mR8; R6 = NR3Z2Z1R1; R1 = H, alkyl, (hetero)aryl, etc.; R3 = H or Me; R8 = (di)(alkyl)amino,, CO2H, alkoxycarbonyl, etc.; R9 = R2Z3Z4NR4; R2 = alkyl, heterocyclyl, (hetero)aryl, etc.; R4 = H or Me; Z1 = CO or SO2; Z2 = bond, O, CH2, NH, CH:CH; Z3 = bond, O, CH2, NH, CH:CH, etc.; Z4 = CO, CS, SO2; m = 1-5] were prepared Thus, indanamine II (R = H, R7 = NO2)(preparation given) was amidated by 4-EtC6H4SO2Cl and the reduced product amidated by 3-(MeO)C6H4COCl to give II [R = 4-EtC6H4SO2, R7 = 3-(MeO)C6H4CONH]. Data for biol. activity of I were given.

IT **202748-98-9P 202748-99-0P 202749-00-6P**
**202749-01-7P 202749-02-8P 202749-03-9P**
**202749-04-0P 202749-19-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-indanylbenzenesulfonamides and analogs as potassium channel blockers)

RN 202748-98-9 CAPLUS

CN Benzamide, N-[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-3-methoxy-, trans- (9CI) (CA INDEX NAME)

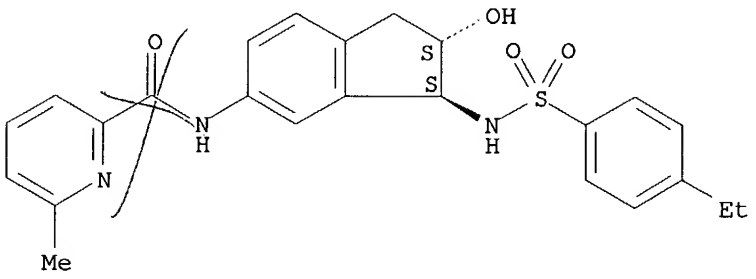
Relative stereochemistry.



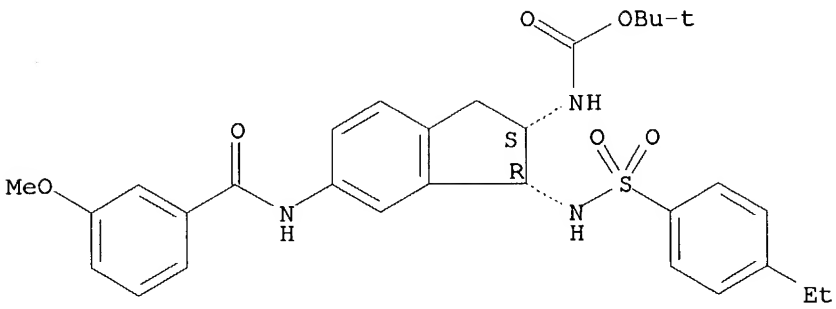

RN 202748-99-0 CAPLUS

CN 2-Pyridinecarboxamide, N-[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-6-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



Relative stereochemistry.

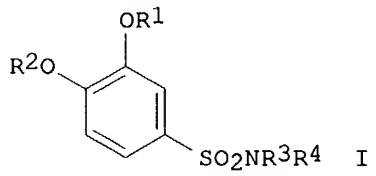



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:38906 CAPLUS
DOCUMENT NUMBER: 126:89137
TITLE: Preparation of 3,4-disubstituted benzenesulfonamides and their therapeutic use
INVENTOR(S): Dyke, Hazel Joan; Montana, John
PATENT ASSIGNEE(S): Chiroscience Limited, UK
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9636596 | A1 | 19961121 | WO 1996-GB1205 | 19960520 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML | | | | |
| AU 9657723 | A1 | 19961129 | AU 1996-57723 | 19960520 |
| ZA 9604001 | A | 19970520 | ZA 1996-4001 | 19960520 |
| PRIORITY APPLN. INFO.: | | | GB 1995-10163 A | 19950519 |
| | | | GB 1995-23677 A | 19951120 |
| | | | WO 1996-GB1205 W | 19960520 |

OTHER SOURCE(S): MARPAT 126:89137
GI



I

AB 3,4-Disubstituted benzenesulfonamides I [R1 = C1-6 alkyl, cycloalkyl; R2 =

C1-3 alkyl; R3 = H, aralkyl, heterocycloalkyl, COR7, SOmR7, C1-6 alkyl; R4 = 5- or 6-membered saturated or unsatd. carbocyclic or heterocyclic ring; R7 = aryl, heteroaryl, heterocyclyl, C1-6 alkyl; m = 1, 2] were prepared and have therapeutic utility via phosphodiesterase IV inhibition (no data). E.g., reaction of 5.04 g 1-aminoindane with 8.99 g 3,4-dimethoxybenzenesulfonyl chloride gave 10.83 g N-(indan-1-yl)-3,4-dimethoxybenzenesulfonamide.

IT **185122-45-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of disubstituted benzenesulfonamides as inhibitors of phosphodiesterase IV)

RN 185122-45-6 CAPLUS

CN Acetamide, N-[1-[[(3,4-dimethoxyphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]- (9CI) (CA INDEX NAME)